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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS	4	OCT 03	MATHDI removed from STN
NEWS	5	OCT 04	CA/CAplus-Canadian Intellectual Property Office (CIPO) added to core patent offices
NEWS	6	OCT 13	New CAS Information Use Policies Effective October 17, 2005
NEWS	7	OCT 17	STN(R) AnaVist(TM), Version 1.01, allows the export/download of CAplus documents for use in third-party analysis and visualization tools
NEWS	8	OCT 27	Free KWIC format extended in full-text databases
NEWS	9	OCT 27	DIOGENES content streamlined
NEWS	10	OCT 27	EPFULL enhanced with additional content
NEWS	11	NOV 14	CA/CAplus - Expanded coverage of German academic research
NEWS	12	NOV 30	REGISTRY/ZREGISTRY on STN(R) enhanced with experimental spectral property data
NEWS	13	DEC 05	CASREACT(R) - Over 10 million reactions available

NEWS EXPRESS DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:10:29 ON 08 DEC 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:10:34 ON 08 DEC 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 DEC 2005 HIGHEST RN 869534-51-0
DICTIONARY FILE UPDATES: 7 DEC 2005 HIGHEST RN 869534-51-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

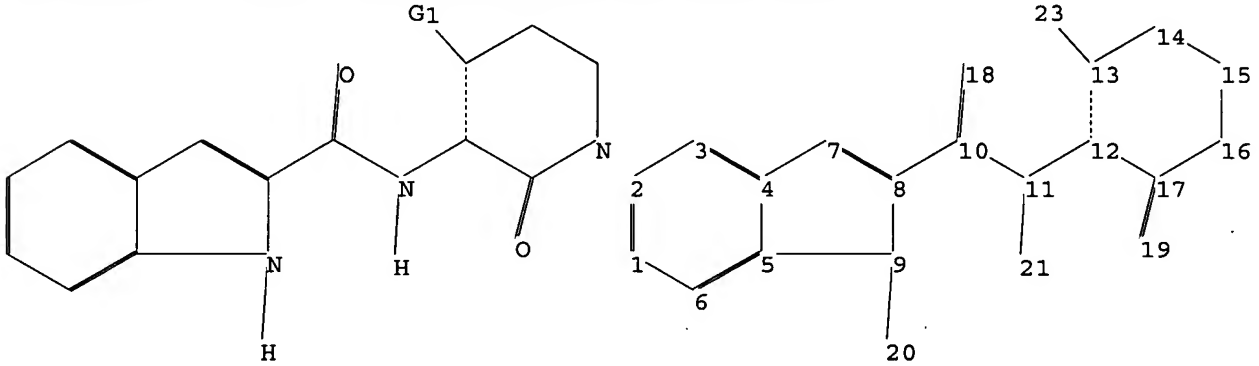
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10506748.str



chain nodes :
10 11 18 19 20 21 23
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15 16 17
chain bonds :
8-10 9-20 10-11 10-18 11-12 11-21 13-23 17-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17
exact/norm bonds :
5-9 8-9 10-11 10-18 11-12 12-13 12-17 13-14 13-23 14-15 15-16 16-17
17-19
exact bonds :
4-7 7-8 8-10 9-20 11-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

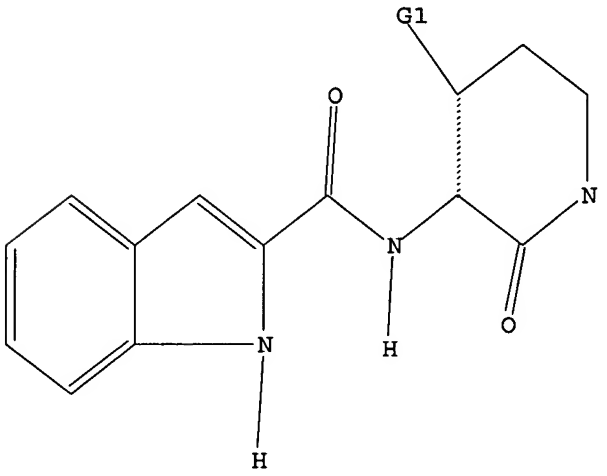
G1:H,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> ed l1
ED IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,O

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sam
SAMPLE SEARCH INITIATED 13:10:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       16 TO ITERATE

100.0% PROCESSED       16 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           80 TO      560
PROJECTED ANSWERS:               3 TO      163

L2            3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 13:10:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      347 TO ITERATE

100.0% PROCESSED      347 ITERATIONS                              113 ANSWERS
SEARCH TIME: 00.00.01

L3          113 SEA SSS FUL L1

=> file ca
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CA' ENTERED AT 13:10:57 ON 08 DEC 2005
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FILE COVERS 1907 - 1 Dec 2005 VOL 143 ISS 24
FILE LAST UPDATED: 1 Dec 2005  (20051201/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4            6 L3
```
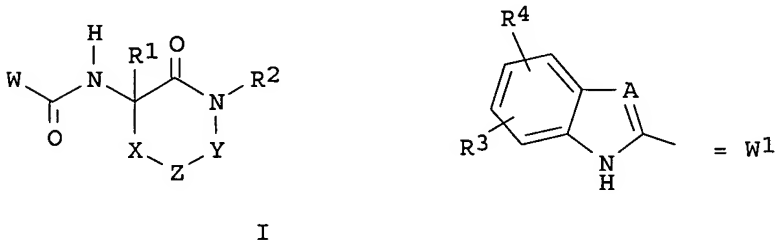

=> d ibib abs fhitstr 1-6

L4 ANSWER 1 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:140474 CA
TITLE: Triglyceride and triglyceride-like prodrugs of glycogen phosphorylase inhibiting compounds
INVENTOR(S): Sher, Philip M.; Ellsworth, Bruce A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004142938	A1	20040722	US 2003-712823		20031113
PRIORITY APPLN. INFO.:			US 2002-426465P	P	20021114

OTHER SOURCE(S): MARPAT 141:140474
GI



I

AB Prodrugs of glycogen phosphorylase inhibiting compds. are provided, said prodrug compds., G(-O2CR')m(-OH)n(-O2C(CH2)pCH3)q [G = branched or straight C3-5-carbon chain and (-O2CR'), (-OH) and (-O2C(CH2)pCH3) are attached to any available carbon atom along G; m = 1 - 4; n = 0 - 3; p = 0 - 16; q = 0 - 3; where m + n + q = 3 or 4; and -O2CR' is a fragment of a compound I wherein W = W1, W2, W3; X = O, S, SO2, CHR5, , CHR5O, CHR5S, CHR5SO2, CHR5CO, CH2CHR5; Y = bond, CHR6; Z = aryl, heteroaryl; R1 =H, alkyl, alkenyl; R2 = H, alkyl, aryl, arylalkyl, heteroarylalkyl, alkenyl; R3, R4 = H, halo, CF3, CN, alkyl, alkoxy; R5, R6 = H, alkyl, aryl, alkenyl, CN, CN4R9A (tetrazole), CO2R9A, CONR9AR9B, CONR9AOR9B; A = CH, N; B = O, S; wherein R1, R2, R5, R6, R7, R8 = alkyl, aryl, alkenyl, arylalkyl,heteroarylalkyl, alkoxy, aryloxy and each may be substituted with 1 - 3 hydrogen bonding groups]. Thus, 3-[(5-chloroindolecarbonyl)amino]-3,4-dihydrocarbostyril I (R1 = R2 = H, W = 5-chloroindole, X = CH2, YZ = benzo) was prepared from 3-amino-3,4-dihydrocarbostyril via acylation with 5-chloroindolecarboxylic acid resin-bound 2,3,5,6-tetrafluorophenyl ester. Further provided are pharmaceutical compns. and methods for treating diabetes and related

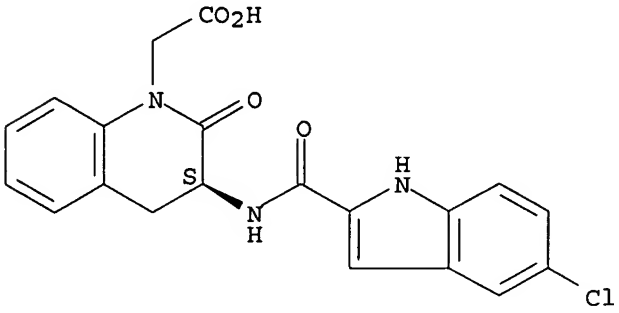
diseases employing compds. above, either alone or in combination with another therapeutic agent.

IT **639478-19-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and borane reduction of; preparation of triglyceride and triglyceride-like prodrugs of glycogen phosphorylase inhibiting compds.)

RN 639478-19-6 CA

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

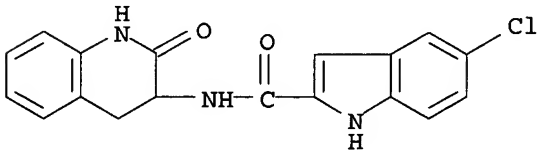


L4 ANSWER 2 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:145982 CA
TITLE: Novel 3,4-dihydroquinolin-2(1H)-one inhibitors of human glycogen phosphorylase a
AUTHOR(S): Rosauer, Keith G.; Ogawa, Anthony K.; Willoughby, Chris A.; Ellsworth, Kenneth P.; Geissler, Wayne M.; Myers, Robert W.; Deng, Qiaolin; Chapman, Kevin T.; Harris, Georgianna; Moller, David E.
CORPORATE SOURCE: Department of Basic Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(24), 4385-4388
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:145982

AB The preparation of a series of substituted indoles coupled to six- and seven-membered cyclic lactams is described and their role as human glycogen phosphorylase a inhibitors discussed. The SAR of the indole moiety and lactam ring are presented.

IT **599192-33-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of indolecarbonylaminoquinolinones and related compds. as inhibitors of human glycogen phosphorylase a)

RN 599192-33-3 CA
CN 1H-Indole-2-carboxamide, 5-chloro-N-(1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:73181 CA
TITLE: Lactam glycogen phosphorylase inhibitors and their use in disease treatment
INVENTOR(S): Sher, Philip; Wu, Gang; Stouch, Terry; Ellsworth, Bruce
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 51 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004002495	A1	20040101	US 2003-440851		20030519
PRIORITY APPLN. INFO.:			US 2002-382002P	P	20020520

OTHER SOURCE(S): MARPAT 140:73181
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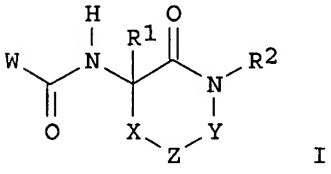
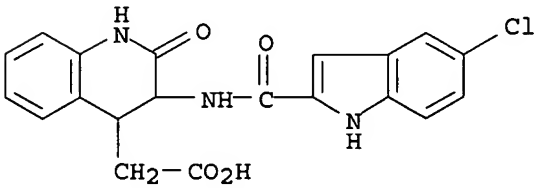


I

AB Lactams I (W = bicyclic heteroaryl; X = O, S, SO2, CHR3, CHR3O, CHR3S, CHR3SO2, CHR3CO, CH2CHR3; Y = bond, CHR3; Z = aryl, heteroaryl; R1 = H, alkyl, aryl, alkenyl; R2 = H, alkyl, aryl, arylalkyl, heteroarylalkyl, alkenyl; R3 = H, alkyl, aryl, alkenyl, CN, tetrazole derivative, CO2R4, CONR4R4, CONR4OR4; R4 = H, alkyl, aryl, arylalkyl, heteroarylalkyl, etc.) which are glycogen phosphorylase inhibitors are disclosed. Further provided is a method for treating diabetes and related diseases employing a glycogen phosphorylase inhibiting amount of the above compound, either alone or in combination with another therapeutic agent. Thus, the syntheses of 3-(5-chloroindole-2-carbonylamino)-5-methoxy-3,4-dihydrocarbostyril and 3-(5-chloroindole-2-carbonylamino)-2,3,4,5-tetrahydro-1H-1-benzazepin-2-one, and numerous other related compds., are described.

IT **639478-94-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(lactam glycogen phosphorylase inhibitors and their use in disease treatment)

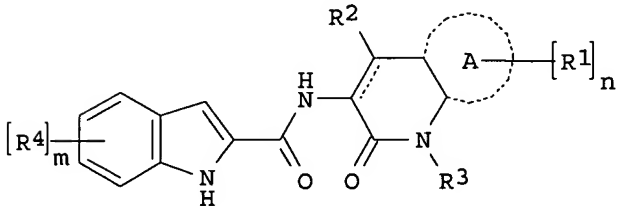
RN 639478-94-7 CA
CN 4-Quinolineacetic acid, 3-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-1,2,3,4-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:261174 CA
TITLE: Preparation of N-heterocyclyl indole-2-carboxamides as glycogen phosphorylase inhibitors
INVENTOR(S): Birch, Alan Martin; Morley, Andrew David
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074513	A2	20030912	WO 2003-GB893	20030304
WO 2003074513	A3	20031231		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1485371	A2	20041215	EP 2003-712313	20030304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005131016	A1	20050616	US 2003-506748	20030304
JP 2005525364	T2	20050825	JP 2003-572981	20030304
PRIORITY APPLN. INFO.:			GB 2002-5162 A	20020306
			WO 2003-GB893 W	20030304

OTHER SOURCE(S): MARPAT 139:261174
GI



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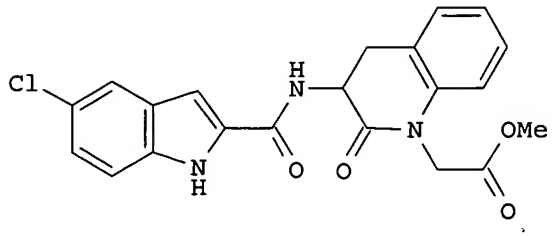


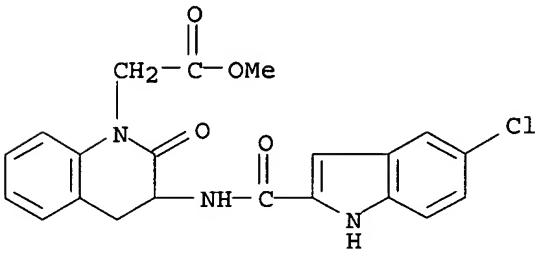
II

AB The title compds. [I; A = phenylene or heteroarylene; m = 0-2; n = 0-2; R1 = halo, NO2, CN, OH, CO2H, etc.; R2 = H, OH, CO2H; R3 = H, OH, aryl, heterocyclyl, etc.; R4 = H, halo, NO2, CN, etc.] which possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity such as diabetes type II, were prepared Thus, amidation of 5-chloro-1H-indole-2-carboxylic acid with Me 2-(3-amino-2-oxo-3,4-dihydroquinolin-1-(2H)-yl)acetate (preparation given) in the presence of HOBT, DCM and EDCI afforded 59% II. The compds. I showed IC50 values in the range 100μM to 1nM against against hrl glycogen phosphorylase a. Pharmaceutical composition comprising the compound I was claimed.

IT **599192-30-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-heterocyclyl indole-2-carboxamides as glycogen phosphorylase inhibitors)

RN 599192-30-0 CA

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 6 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 111:57523 CA

TITLE: Cholecystokinin antagonists. Synthesis and biological evaluation of 3-substituted benzolactams

AUTHOR(S): Parsons, W. H.; Patchett, A. A.; Holloway, M. K.; Smith, G. M.; Davidson, J. L.; Lotti, V. J.; Chang, R. S. L.

CORPORATE SOURCE: Dep. Explor. Chem., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA

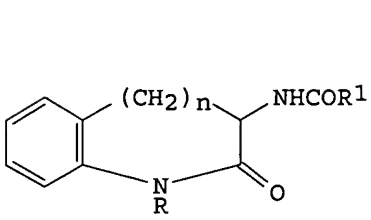
SOURCE: Journal of Medicinal Chemistry (1989), 32(8), 1681-5
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:57523

GI



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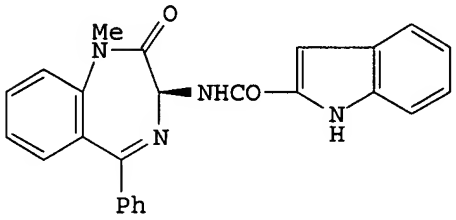


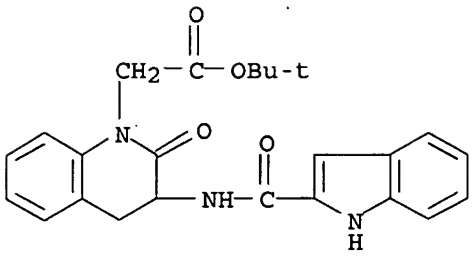
II

AB Benzolactams (RS)-I (R = CH2CO2CMe3, R1 = Ph, C6H4Cl-4, etc., n = 2; R = CH2CO2CMe3, R1 = indol-2-yl, n = 1, 2, 3; R = CH2CO2Et, CH2Ph, Me, CH2CO2H, R1 = indol-2-yl, 2-naphthyl, n = 2), (S)-I (R = CH2CO2CMe3, R1 = indol-2-yl, n = 2), and (R)-I (R = CH2CO2CMe3, R1 = indol-2-yl, 2-naphthyl, n = 2) were prepared as potent nonpeptidal antagonists of the peptide hormone cholecystokinin (CCK). Design considerations were based upon the natural product CCK antagonist asperlicin and the potent benzodiazepine antagonist series exemplified by L-364,718 (II). (R)-I (R = CH2CO2CMe3, R = indol-2-yl, n = 1) [(R)-III] was the most potent compound and had an IC50 = 3 mM for inhibition of binding of 125I-CCK-8 to CCK receptors in rat pancreatic tissue. (RS)-III was active in inhibiting CCK-induced gastric emptying in mice, with an ED50 = 2.6 mg/kg po. The effects of ring size, substitution at positions 1 and 3, and stereochem. at position 3 are discussed. Conformational studies of (R)-III and II have delineated similarities that these mols. share in their core conformations and substituent orientations.

IT **115355-19-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin antagonist)

RN 115355-19-6 CA

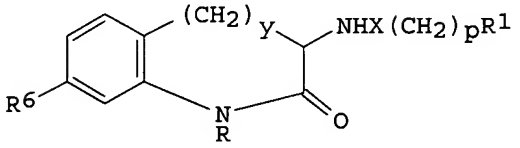
CN 1(2H)-Quinolineacetic acid, 3,4-dihydro-3-[(1H-indol-2-ylcarbonyl)amino]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 109:54677 CA
TITLE: Benzofused lactams and their preparation as cholecystokinin antagonists
INVENTOR(S): Parsons, William H.; Patchett, Arthur A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 25 pp. Cont.-in-part of U.S. Ser. No. 718,597, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4692522	A	19870908	US 1986-871340	19860606
JP 61015875	A2	19860123	JP 1985-138061	19850626
PRIORITY APPLN. INFO.:			US 1984-624856 A2	19840626
			US 1985-718597 A2	19850401

OTHER SOURCE(S): CASREACT 109:54677
GI

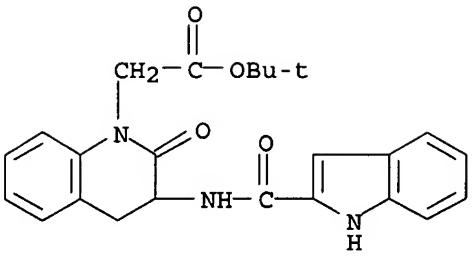


I

AB Benzopiperidines I [X = bond, CO; R = (un)substituted alkyl; R1 = Ra or Rb; Ra = alkyl, (benzo)cycloalkyl, (un)substituted aryl, heteroaryl, arylalkyl, -alkenyl, -oxy, -thio, -alkoxy, or -alkylthio, heteroarylalkyl, -alkenyl, -oxy, -thio, -alkoxy, or -alkylthio; Rb = CHR2R3; R2 = Ra; R3 = substituted carbonyl, (un)substituted NH2; R6 = H, halo, OH, NO2, NH2, alkylamino, alkyl, alkoxy; y = 1-3; p = 0-2; when p = 0, X = CO] and their pharmaceutically acceptable salts, useful as cholecystokinin (II) antagonists, were prepared by 2 methods. Homodihydrocarbostyril was brominated by treating with PCl5, then iodine, finally Br2 in CHCl3 to give 3-bromohomodihydrocarbostyril which reacted with NaN3 to give the 3-azido analog. MeI methylation of the product gave 3-azido-1-methylhomodihydrocarbostyril which was hydrogenated to the 3-NH2 analog, and the product treated with PhCH2CH2COCO2Et and AcOH in EtOH gave 2 diastereomeric racemates of I [R = Me, R1 = CH(CO2Et)CH2CH2Ph, R6 = H, X = bond, p = 0, y = 2] (III). The IC50 for inhibition of 125I-II-33 receptor binding for III was 60 μM.

IT **115355-19-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin antagonist)

RN 115355-19-6 CA
CN 1(2H)-Quinolineacetic acid, 3,4-dihydro-3-[(1H-indol-2-ylcarbonyl)amino]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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L1              STRUCTURE UPLOADED
L2            3 S L1 SAM
L3          113 S L1 FULL

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L4            6 S L3

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      28.63     190.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                      -4.08      -4.08

STN INTERNATIONAL LOGOFF AT 13:11:23 ON 08 DEC 2005
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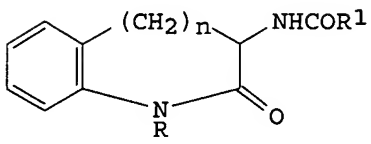
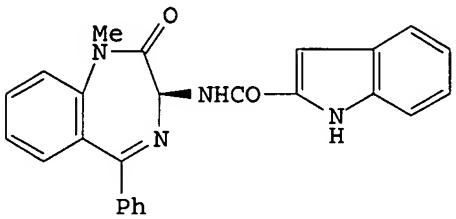
ACCESSION NUMBER: 111:57523 CA
TITLE: Cholecystokinin antagonists. Synthesis and biological evaluation of 3-substituted benzolactams
AUTHOR(S): Parsons, W. H.; Patchett, A. A.; Holloway, M. K.; Smith, G. M.; Davidson, J. L.; Lotti, V. J.; Chang, R. S. L.
CORPORATE SOURCE: Dep. Explor. Chem., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Journal of Medicinal Chemistry (1989), 32(8), 1681-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:57523

GI



I



II

AB Benzolactams (RS)-I (R = CH2CO2CMe3, R1 = Ph, C6H4Cl-4, etc., n = 2; R = CH2CO2CMe3, R1 = indol-2-yl, n = 1, 2, 3; R = CH2CO2Et, CH2Ph, Me, CH2CO2H, R1 = indol-2-yl, 2-naphthyl, n = 2), (S)-I (R = CH2CO2CMe3, R1 = indol-2-yl, n = 2), and (R)-I (R = CH2CO2CMe3, R1 = indol-2-yl, 2-naphthyl, n = 2) were prepared as potent nonpeptidal antagonists of the peptide hormone cholecystokinin (CCK). Design considerations were based upon the natural product CCK antagonist asperlicin and the potent benzodiazepine antagonist series exemplified by L-364,718 (II). (R)-I (R = CH2CO2CMe3, R = indol-2-yl, n = 1) [(R)-III] was the most potent compound and had an IC50 = 3 mM for inhibition of binding of 125I-CCK-8 to CCK receptors in rat pancreatic tissue. (RS)-III was active in inhibiting CCK-induced gastric emptying in mice, with an ED50 = 2.6 mg/kg po. The effects of ring size, substitution at positions 1 and 3, and stereochem. at position 3 are discussed. Conformational studies of (R)-III and II have delineated similarities that these mols. share in their core conformations and substituent orientations.

IT **115355-19-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin antagonist)

RN 115355-19-6 CA

CN 1(2H)-Quinolineacetic acid, 3,4-dihydro-3-[(1H-indol-2-ylcarbonyl)amino]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)